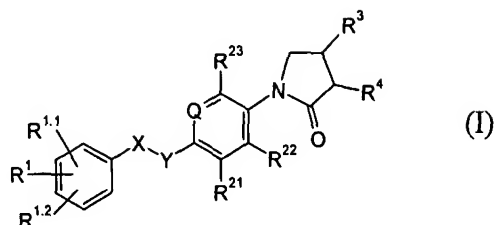


Claims

1. A compound of the formula I



wherein

Q is =N- or =C(R²⁴)-;

X-Y is -CH₂-CH₂-, -CH=CH- or -CH₂-O-;

R¹, R^{1.1} and R^{1.2} independently from each other are selected from the group consisting of hydrogen, halogen, (C₁-C₆)-alkyl, halogen-(C₁-C₆)-alkyl, cyano, (C₁-C₆)-alkoxy or halogen-(C₁-C₆)-alkoxy;

R²¹, R²² and R²³ independently from each other are selected from the group consisting of hydrogen and halogen;

R²⁴ is hydrogen, halogen or methyl;

R³ is -C(O)N(H)CH₃ or -CH₂CN; and

R⁴ is hydrogen;

or an individual isomer or racemic or non-racemic mixture thereof.

2. A compound according to claim 1 wherein Q is =C(R²⁴)-.

3. A compound according to claim 2 wherein -X-Y- is -CH₂-O-; R¹, R^{1.1}, and R^{1.2} independently are selected from the group consisting of hydrogen, halogen, methyl, halogenmethyl, cyano, methoxy, and halogenmethoxy; R²¹, R²², and R²³ are hydrogen; and R³ is -C(O)N(H)CH₃.

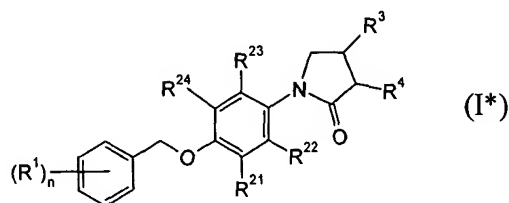
4. A compound according to claim 1 wherein Q is =N-.

5. A compound of claim 1 wherein X-Y is -CH₂-O-.

6. A compound according to claim 1 wherein R^3 is $-C(O)N(H)CH_3$.
7. A compound according to claim 1 wherein R^3 is CH_2CN .
8. A compound according to claim 1 wherein X-Y is $-CH_2-CH_2-$ or $-CH=CH-$.
9. A compound according to claim 1 wherein R^{21} , R^{22} , and R^{23} are hydrogen.
10. A compound according to claim 1 wherein R^{21} and R^{23} are hydrogen and R^{22} is fluoro.
11. A compound according to claim 1 wherein Q is $=CH-$, $=CF-$, or $=C(CH_3)-$.
12. A compound according to claim 11 wherein Q is $=CH-$; X-Y is CH_2-O- ; R^{21} , R^{22} , and R^{23} are hydrogen; and R^3 is $-C(O)N(H)CH_3$.
13. A compound according to claim 12 wherein R^1 , $R^{1.1}$, and $R^{1.2}$ independently are selected from the group consisting of hydrogen, halogen, methyl, halogenmethyl, cyano, methoxy, and halogenmethoxy.
14. A compound according to claim 12 wherein $R^{1.1}$ and $R^{1.2}$ are hydrogen and R^1 is selected from fluoro, chloro, halogenmethyl, cyano, methoxy, and halogenmethoxy.
15. A compound according to claim 1 wherein R^1 , $R^{1.1}$ and $R^{1.2}$ independently from each other are selected from the group consisting of hydrogen, halogen, methyl, halogenmethyl, cyano, methoxy or halogen-methoxy.
16. A compound according to claim 1 wherein R^1 , $R^{1.1}$, and $R^{1.2}$ are halogen.

17. A compound according to claim 16 wherein R^1 , $R^{1.1}$, and $R^{1.2}$ are fluoro.
18. A compound according to claim 17 wherein R^1 , $R^{1.1}$, and $R^{1.2}$ are 2,4,6-trifluoro; 2,4,5-trifluoro; 2,3,6-trifluoro; 2,3,4-trifluoro; or 3,4,5-trifluoro.
19. A compound according to claim 1 wherein $R^{1.2}$ is hydrogen and R^1 and $R^{1.1}$ independently from each other are selected from the group consisting of hydrogen, halogen, cyano, (C₁-C₆)-alkyl, halogen-(C₁-C₆)-alkyl, (C₁-C₆)-alkoxy or halogen-(C₁-C₆)-alkoxy.
20. A compound according to claim 19 wherein R^1 and $R^{1.1}$ independently are halogen or (C₁-C₆)-alkyl.
21. A compound according to claim 20 wherein $R^{1.1}$ is halogen and R^1 is halogen or (C₁-C₆)-alkyl.
22. A compound according to claim 1 wherein R^1 , $R^{1.1}$, and $R^{1.2}$ are hydrogen.
23. A compound according to claim 1 wherein $R^{1.1}$ and $R^{1.2}$ are hydrogen and R^1 is selected from halogen, cyano, (C₁-C₆)-alkyl, halogen-(C₁-C₆)-alkyl, (C₁-C₆)-alkoxy or halogen-(C₁-C₆)-alkoxy.
24. A compound according to claim 23 wherein R^1 is halogen, methyl, halogenmethyl, cyano, methoxy, and halogenmethoxy.
25. A compound according to claim 24 wherein R^1 is fluoro.
26. A compound according to claim 25 wherein R^1 is 3-fluoro or 4-fluoro.
27. A compound according to claim 24 wherein R^1 is chloro.

28. A compound according to claim 27 wherein R¹ is 3-chloro.
29. A compound according to claim 24 wherein R¹ is halogenmethyl.
30. A compound according to claim 29 wherein R¹ is 3-trifluoromethyl.
31. A compound according to claim 24 wherein R¹ is cyano.
32. A compound according to claim 24 wherein R¹ is methoxy.
33. A compound according to claim 32 wherein R¹ is 2-methoxy, 3-methoxy, or 4-methoxy.
34. A compound according to claim 24 wherein R¹ is halogenmethoxy.
35. A compound according to claim 34 wherein R¹ is 3-trifluoromethoxy.
36. A compound according to claim 1 wherein the compound has (R)-configuration.
37. A compound of the formula I*



wherein

R¹ is halogen, halogen-(C₁-C₆)-alkyl, cyano, (C₁-C₆)-alkoxy or halogen-(C₁-C₆)-alkoxy;

R²¹, R²², R²³ and R²⁴ independently from each other are selected from the group consisting of hydrogen and halogen;

R^3 is $-\text{CONHR}^5$, $-\text{CH}_2\text{CN}$ or $-\text{CN}$;

R^4 is hydrogen;

R^5 is methyl; and

n is 0, 1, 2 or 3;

as well as individual isomers, racemic or non-racemic mixtures thereof.

38. A compound according to claim 37 wherein R^3 is $-\text{C}(\text{O})\text{N}(\text{H})\text{CH}_3$.

39. A compound according to claim 37 wherein R^3 is CH_2CN and R^4 is hydrogen.

40. A compound according to claim 37 wherein n is 1 or 2.

41. A compound according to claim 37 wherein R^1 is halogen or halogen (C_1 - C_6)-alkyl.

42. A compound according to claim 41 wherein R^1 is fluoro, chloro, or trifluoromethyl.

43. A compound selected from the group consisting of
(RS)-1-[4-(3-fluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-[1-[4-(4-fluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-1-[4-(3-chloro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-[1-[4-(3,4-difluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-[1-[4-(2,6-difluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-5-oxo-1-[4-(2,4,6-trifluoro-benzyloxy)-phenyl]-pyrrolidine-3-carboxylic acid methylamide,

(RS)-5-oxo-1-[4-(2,4,5-trifluoro-benzyloxy)-phenyl]-pyrrolidine-3-carboxylic acid methylamide,
(RS)-5-oxo-1-[4-(2,3,6-trifluoro-benzyloxy)-phenyl]-pyrrolidine-3-carboxylic acid methylamide,
(RS)-5-oxo-1-[4-(2,3,4-trifluoro-benzyloxy)-phenyl]-pyrrolidine-3-carboxylic acid methylamide, and
(RS)-5-oxo-1-[4-(3,4,5-trifluoro-benzyloxy)-phenyl]-pyrrolidine-3-carboxylic acid methylamide.

44. A compound selected from the group consisting of
(RS)-1-[4-(5-fluoro-2-methyl-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-1-[4-(3-methoxy-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-1-[4-(2-methoxy-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-5-oxo-1-[4-(3-trifluoromethoxy-benzyloxy)-phenyl]-pyrrolidine-3-carboxylic acid methylamide,
(RS)-5-oxo-1-[4-(3-trifluoromethyl-benzyloxy)-phenyl]-pyrrolidine-3-carboxylic acid methylamide,
(RS)-1-[4-(3-cyano-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-1-[4-(3-fluoro-benzyloxy)-3-methyl-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-1-[4-(4-fluoro-benzyloxy)-3-methyl-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-1-[4-(3-chloro-benzyloxy)-3-methyl-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-1-[3-fluoro-4-(3-fluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,

(RS)-1-[2-fluoro-4-(3-fluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide, and
(RS)-1-[2,5-difluoro-4-(3-fluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide.

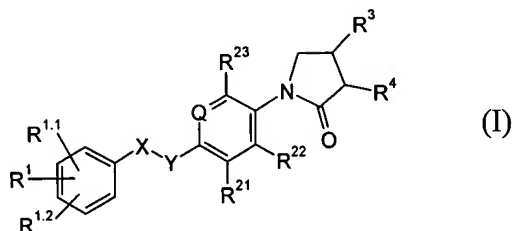
45. A compound selected from the group consisting of
(RS)-1-(4-benzyloxy-phenyl)-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(R)-1-[4-(3-fluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(S)-1-[4-(3-fluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(R)-1-(4-benzyloxy-phenyl)-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(S)-1-(4-benzyloxy-phenyl)-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(R)-1-[4-(4-fluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(R)-1-[4-(3-fluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(R)-1-[4-(3-chloro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
and
(R)-1-[4-(2,6-difluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide.

46. A compound selected from the group consisting of
(R)-5-oxo-1-[4-(2,4,6-trifluoro-benzyloxy)-phenyl]-pyrrolidine-3-carboxylic acid methylamide,
(RS)-1-[4-(3,4-difluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidin-3-yl}-acetonitrile,
(RS)-{1-[4-(3-fluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidin-3-yl}-acetonitrile,
(RS)-[1-(4-benzyloxy-phenyl)-5-oxo-pyrrolidin-3-yl]-acetonitrile,
(RS)-(E)-1-{4-[2-(3-fluoro-phenyl)-vinyl]-phenyl}-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-(E)-1-{4-[2-(4-methoxy-phenyl)-vinyl]-phenyl}-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
(RS)-(E)-1-{4-[2-(3-methoxy-phenyl)-vinyl]-phenyl}-5-oxo-pyrrolidine-3-carboxylic acid methylamide, and

(RS)-(E)-1-{4-[2-(4-fluoro-phenyl)-vinyl]-phenyl}-5-oxo-pyrrolidine-3-carboxylic acid methylamide.

47. A compound selected from the group consisting of
 (RS)-1-{4-[2-(3-chloro-phenyl)-ethyl]-phenyl}-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
 (RS)-1-{4-[2-(4-chloro-phenyl)-ethyl]-phenyl}-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
 (RS)-1-{4-[2-(3-fluoro-phenyl)-ethyl]-phenyl}-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
 (RS)-1-{4-[2-(4-fluoro-phenyl)-ethyl]-phenyl}-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
 (RS)-1-{4-[2-(3-methoxy-phenyl)-ethyl]-phenyl}-5-oxo-pyrrolidine-3-carboxylic acid methylamide,
 (RS)-1-[6-(4-fluoro-benzyloxy)-pyridin-3-yl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide, and
 (RS)-1-[4-(2-fluoro-benzyloxy)-phenyl]-5-oxo-pyrrolidine-3-carboxylic acid methylamide.

48. A composition comprising a compound of formula I



wherein

Q is =N- or =C(R²⁴)-;

X-Y is -CH₂-CH₂-, -CH=CH- or -CH₂-O-;

R¹, R^{1.1} and R^{1.2} independently from each other are selected from the group consisting of hydrogen, halogen, (C₁-C₆)-alkyl, halogen-(C₁-C₆)-alkyl, cyano, (C₁-C₆)-alkoxy or halogen-(C₁-C₆)-alkoxy;

R^{21} , R^{22} and R^{23} independently from each other are selected from the group consisting of hydrogen and halogen;

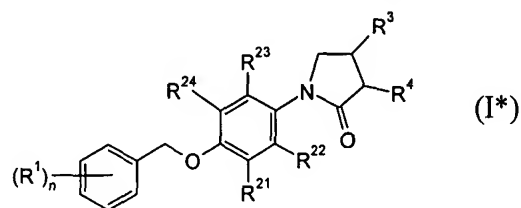
R^{24} is hydrogen, halogen or methyl;

R^3 is $-\text{C}(\text{O})\text{N}(\text{H})\text{CH}_3$ or $-\text{CH}_2\text{CN}$; and

R^4 is hydrogen;

or an individual isomer or racemic or non-racemic mixture thereof, and a pharmaceutically acceptable carrier.

49. A composition comprising a compound of formula I*



wherein

R^1 is halogen, halogen-(C_1 - C_6)-alkyl, cyano, (C_1 - C_6)-alkoxy or halogen-(C_1 - C_6)-alkoxy;

R^{21} , R^{22} , R^{23} and R^{24} independently from each other are selected from the group consisting of hydrogen and halogen;

R^3 is $-\text{CONHR}^5$, $-\text{CH}_2\text{CN}$ or $-\text{CN}$;

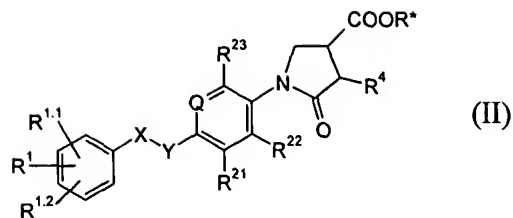
R^4 is hydrogen;

R^5 is methyl; and

n is 0, 1, 2 or 3;

or an individual isomer or racemic or non-racemic mixture thereof, and a pharmaceutically acceptable carrier.

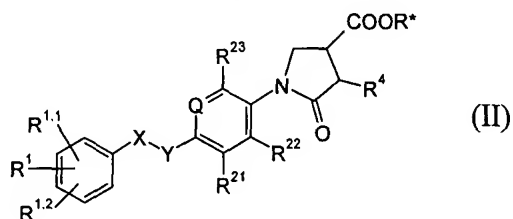
50. A process for the preparation of compounds of formula I according to claim 1 wherein R^3 is $-\text{C}(\text{O})\text{N}(\text{H})\text{CH}_3$ comprising reacting a compound of formula II



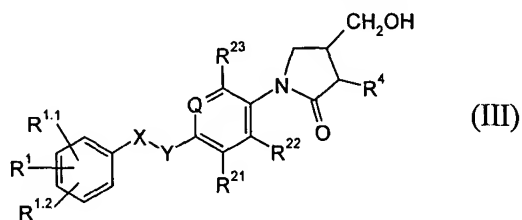
wherein R^1 , $R^{1.1}$, $R^{1.2}$, R^{21} , R^{22} , R^{23} , R^4 , -X-Y- and Q have the meanings as defined in claim 1 and R^* is hydrogen or (C₁-C₆)-alkyl

with an amine of formula H_2N-R^5 , wherein R^5 has the meaning as defined in claim 1.,

51. A process for the preparation of compounds of formula I according to claim 1 wherein R^3 is CH_2CN comprising reducing a compound of formula II



wherein R^1 , $R^{1.1}$, $R^{1.2}$, R^{21} , R^{22} , R^{23} , R^4 , -X-Y- and Q have the meanings as defined in claim 1 and R^* is hydrogen or (C₁-C₆)-alkyl to a compound of formula III



wherein R^1 , $R^{1.1}$, $R^{1.2}$, R^{21} , R^{22} , R^{23} , R^4 , -X-Y- and Q have the meanings as defined in claim 1,

and reacting the compound of formula III with a cyanide salt.

52. A method for the treatment of Alzheimer's disease comprising administering to an individual a therapeutically effective amount of a compound of claim 1.

53. A method for the treatment of senile dementia comprising administering to an individual a therapeutically effective amount of a compound of claim 1.